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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPlus records now contain indexing from 1907 to the
present
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 10 SEP 22 DIPPR file reloaded
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded
NEWS 12 SEP 29 DISSABS now available on STN
NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 16 NOV 24 MSDS-CCOHS file reloaded

NEWS EXPRESS NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 08:13:20 ON 25 NOV 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 08:13:38 ON 25 NOV 2003

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STRUCTURE FILE UPDATES: 24 NOV 2003 HIGHEST RN 620531-14-8
DICTIONARY FILE UPDATES: 24 NOV 2003 HIGHEST RN 620531-14-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

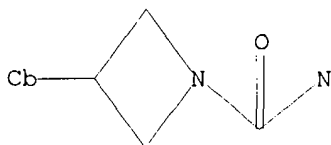
Uploading 09600631z.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:13:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 232 TO ITERATE

100.0% PROCESSED 232 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3727 TO 5553
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 08:13:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4363 TO ITERATE

100.0% PROCESSED 4363 ITERATIONS 112 ANSWERS
SEARCH TIME: 00.00.01

L3 112 SEA SSS FUL L1

=> s 13 and caplus/lc
32051754 CAPLUS/LC

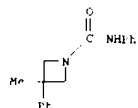
L4 110 L3 AND CAPLUS/LC

=> s 13 not 14

L5 2 L3 NOT L4

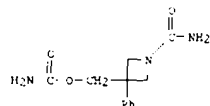
=> d 15 1-2

LS ANSWER 1 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 499415-98 6 REGISTRY
 CN 1-Azetidine-carboxamide, 3-methyl-N,3-diphenyl- (701) (CA INDEX NAME)
 FS 30 CONCORD
 MF C12 H18 N2 O
 SR Reaction Database
 LC STN File: CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

LS ANSWER 2 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
 RN 96412-29 7 REGISTRY
 CN Carbamic acid, ester with 3-(hydroxymethyl)-3-phenyl-1-azetidine-carboxamide (701) (CA INDEX NAME)
 FS 30 CONCORD
 MF C12 H15 N3 O3
 LC STN File: BEILSTEIN*, CASRD
 (**File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CASRD (PRIOR TO 1967)

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.73	155.94

FILE 'CAPLUS' ENTERED AT 08:14:15 ON 25 NOV 2003
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FILE COVERS 1907 - 25 Nov 2003 VOL 139 ISS 22
FILE LAST UPDATED: 24 Nov 2003 (20031124/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

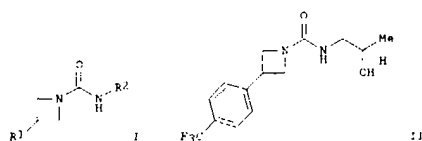
L6 10 L3

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L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

ACCESSION NUMBER: 2001:74214 CAPLUS
 DOCUMENT NUMBER: 134:147487
 TITLE: Preparation of azetidinecarboxamides for use as neuroprotectants
 INVENTOR(S): Snape, Mike Frederick
 PATENT ASSIGNEE(S): Vernebio Research Limited, UK
 SOURCE: ECT Int. Appl., 44 pp.
 CODEN: PIXX52
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

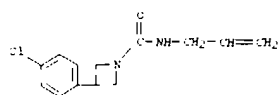
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001067022	A2	20010229	WO 2000-082817	20000721
WO 2001067022	A3	20010614		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MN, MW, MX, MY, MZ, NI, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, ST, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, ST, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, BG, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, B*, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TG EP 1196165 A2 20020417 EP 2000 946187 20000721 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, ST, SV, FI, RO				
PRIORITY APPL. INFO.: GB 1999-17354 A 19990723 IE, SI, ST, SV, FI, RO WO 2000-082817 W 20000721				
OTHER SOURCE(S): MAREPAT 134:147487 GI				



AR Syntheses of compounds of formula I (R¹ examples) are described, wherein R¹ is aryl and R² is H or alkyl groups may be (un)subst. and/or (un)substituted, it is pharmaceutically acceptable salt or prodrug thereof. Compd. of formula I are candidates for neuroprotection or for the treatment of cerebral ischemia, central nervous system injury or eye diseases. Compd. II was synthesized by addn. of 4-(trifluoromethyl)phenyl.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 1-carboxamide **231953-60-9P**, (S)-3-(4-Fluorophenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-64-3P**, 2-(3,4-Dichlorophenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-65-4P**, (R)-3-(3,4-Dichlorophenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-66-5P**, (S)-3-(3,4-Dichlorophenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-71-2P**, (S)-3-(4-Trifluoromethylphenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-72-3P**, 3-(4-Trifluoromethylphenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-76-7P**, (R)-3-(3-Trifluoromethylphenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-77-8P**, (S)-3-(3-Trifluoromethylphenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-78-9P**, 3-(4-Trifluoromethylphenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-79-0P**, 3-(4-Trifluoromethylphenyl)-N-azetidine-1-carboxamide **231953-80-3P** **231953-81-4P** **231953-82-5P** **231953-83-6P** **231953-84-7P** **231953-85-8P** **231953-86-9P** **231953-87-0P** **231953-88-1P** **231953-89-2P** **231953-90-3P** **231953-91-4P** **231953-92-5P** **231953-94-6P** **231953-95-7P** **231953-97-9P** **231953-99-4P** **231954-02-2P** **231954-04-4P** **231954-06-6P** **231954-08-8P** **231954-12-6P** **231954-14-8P** **231954-16-0P** **231954-18-2P** **231954-20-4P** **231954-21-5P** **231954-23-7P** **231954-25-9P** **231954-27-1P** **231954-29-3P** **231954-31-7P** **231954-32-8P** **231954-34-0P** **231954-35-1P** **231954-36-2P** **231954-37-3P** **231954-38-4P** **231954-39-5P** **231954-40-6P** **231954-41-7P** **231954-42-8P** **231954-43-1P** **231954-44-2P** **231954-45-3P** **231954-46-4P** **231954-47-5P** **231954-48-6P** **231954-49-7P** **231954-50-8P** **231954-51-1P** **231954-52-2P** **231954-53-3P** **231954-55-4P** **231954-56-5P** **231954-59-9P** **231954-60-2P** **231954-61-3P** **231955-16-1P** **232204-84-8P** **232204-85-9P** **232204-86-0P** **232204-87-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparations); THU (Therapeutic use); RICI (Biological study); HUS (Preparation); HUS (Use);
 (prepn. of azetidinecarboxamides for use as neuroprotectants)

RN 231953-45-0 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

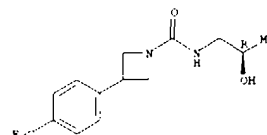


RN 231953-49-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-[4-(1,1-dimethylethyl)phenyl]-N-2-propenyl (9CI) (CA INDEX NAME)

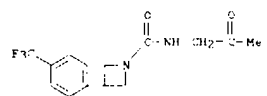
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

magnesium bromide to 1-(diphenylmethyl)-3-azetidinone followed by deoxygenation. The resulting aryl azetidine was protected as its N-diphenylmethyl form. The protected azetidine was then treated with phosgene and (R)-1-undec-2-propanol to provide II. Compd. II exhibits neuroprotection at a dose of 30 mg/kg i.p. in the rat transient middle cerebral artery occlusion model measured by decrease in infarct vol.
 IT **231953-70-1P**, (R)-3-(4-Trifluoromethylphenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231954-58-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparations); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); HUS (Use);
 (prepn. of azetidinecarboxamides for use as neuroprotectants)
 RN 231953-70-1 CAPLUS
 CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

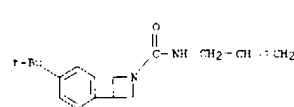


RN 231954 58 8 CAPLUS
 CN 1-Azetidinecarboxamide, N-(2-hydroxypropyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

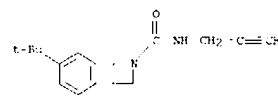


IT **231953-45-0P**, 3-(4-Chlorophenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-49-4P**, 3-(4-tert-Butylphenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-50-7P**, 3-(4-tert-Butylphenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-51-8P**, (R)-3-(4-tert-Butylphenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-55-2P**, 3-(4-Fluorophenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-56-3P**, 3-(4-Fluorophenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-57-4P**, (R)-3-(4-Fluorophenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-58-5P**, 3-(4-Chlorophenyl)-N-(2-propenyl)azetidine-1-carboxamide **231953-59-6P**, (R)-3-(4-Chlorophenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-60-9P**, (R)-3-(4-Chlorophenyl)-N-(2-hydroxypropyl)azetidine-1-carboxamide **231953-61-4P**

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

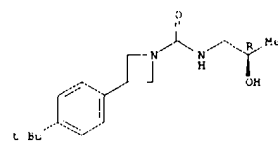


RN 231953-50-7 CAPLUS
 CN 1-Azetidinecarboxamide, 3-[4-(1,1-dimethylethyl)phenyl]-N-2-propenyl- (9CI) (CA INDEX NAME)

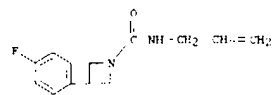


RN 231953 51 8 CAPLUS
 CN 1-Azetidinecarboxamide, 3-[4-(1,1-dimethylethyl)phenyl]-N-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

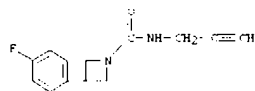


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 CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



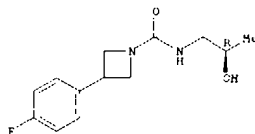
RN 231953-56-3 CAPLUS
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L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



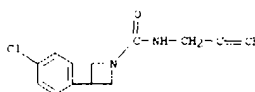
RN 231953-57-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 231953-58-5 CAPLUS
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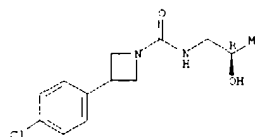
Absolute stereochemistry.



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(CA INDEX NAME)

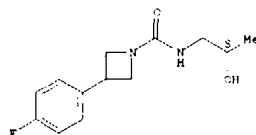
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

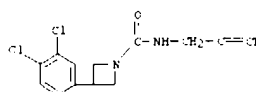


RN 231953-60-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



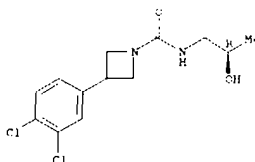
RN 231953-64-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-dichlorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 231953-65-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

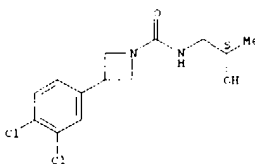
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



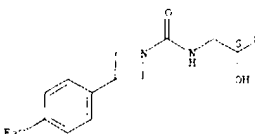
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CN 1-Azetidinecarboxamide, 3-(3,4-dichlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



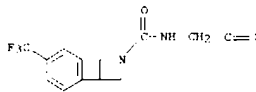
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CN 1-Azetidinecarboxamide, N-[(2S)-2-hydroxypropyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



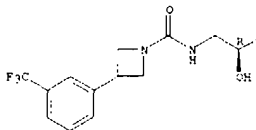
RN 231953-72-3 CAPLUS
CN 1-Azetidinecarboxamide, N-2-propynyl-3-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



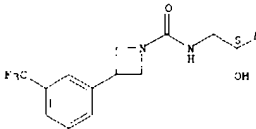
RN 231953-76-7 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

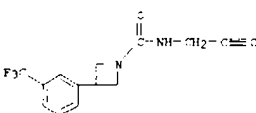


RN 231953-77-8 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2S)-2-hydroxypropyl]-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

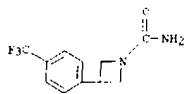


RN 231953-78-9 CAPLUS
CN 1-Azetidinecarboxamide, N-2-propynyl-3-[3-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



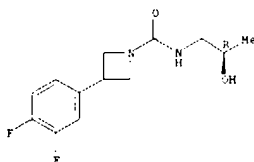
RN 231953-79-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



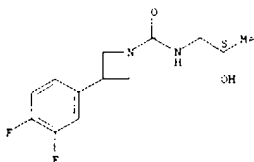
RN 231953-80-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-difluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 231953-81-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-difluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

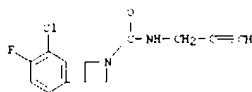
Absolute stereochemistry.



RN 231953-82-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-4-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

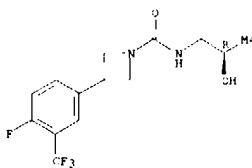
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

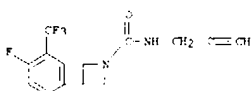


RN 231953-86-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chloro-3-(trifluoromethyl)phenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

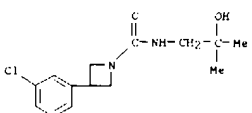
Absolute stereochemistry.



RN 231953-87-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chloro-3-(trifluoromethyl)phenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)

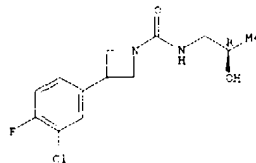


RN 231953-88-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)



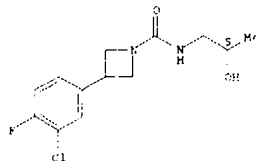
RN 231953-89-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chloro-3-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

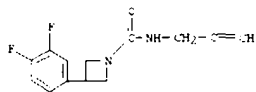


RN 231953-89-6 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-4-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



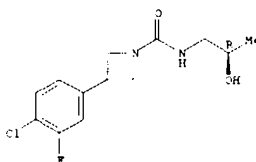
RN 231953-84-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-difluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



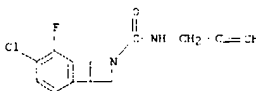
RN 231953-85-8 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-4-fluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.

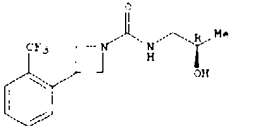


RN 231953-90-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chloro-3-fluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



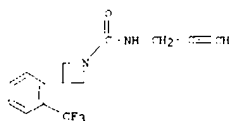
RN 231953-91-6 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-2-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



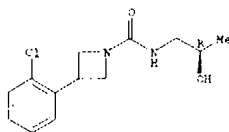
RN 231953-92-7 CAPLUS
CN 1-Azetidinecarboxamide, N-2-propynyl-3-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



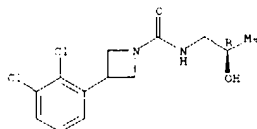
RN 231953-94-9 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(2-chlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 231953-95-0 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(2,3-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

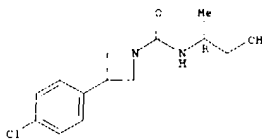
Absolute stereochemistry.



RN 231953-97-2 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(2,4-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

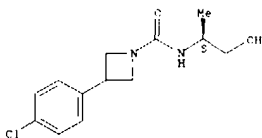
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

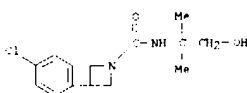


RN 231954-06-6 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

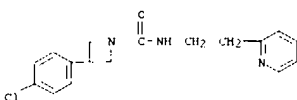
Absolute stereochemistry.



RN 231954-08-8 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

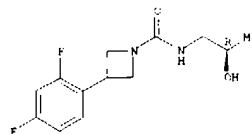


RN 231954-12-4 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

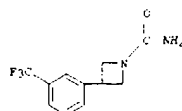


RN 231954-14-6 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

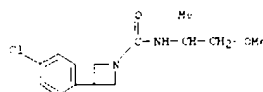
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231953-99-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



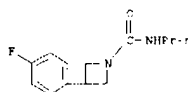
RN 231954-02-2 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2-methoxy-1-methylethyl)- (9CI) (CA INDEX NAME)



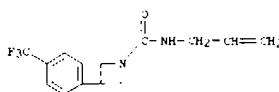
RN 231954-04-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2-hydroxy-1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

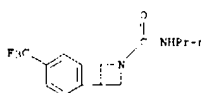
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231954-16-8 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

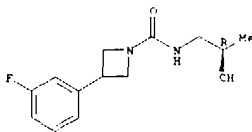


RN 231954-18-0 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 231954-20-4 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

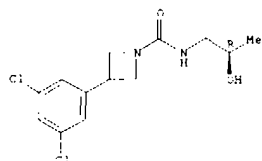
Absolute stereochemistry.



RN 231954-21-5 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3,5-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

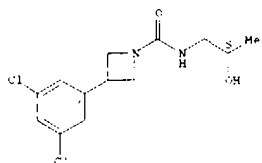
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



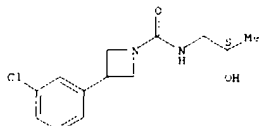
RN 231954-23-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3,5-dichlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



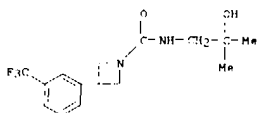
RN 231954-25-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-chlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

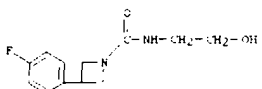


RN 231954-27-1 CAPLUS
CN 1-Azetidinecarboxamide, N-2-propenyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

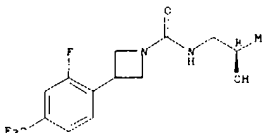


RN 231954-35-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(4-fluorophenyl)-N-[(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

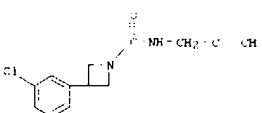


RN 231954-36-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(2-fluoro-4-(trifluoromethyl)phenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

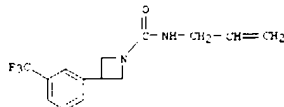


RN 231954-37-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-chlorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

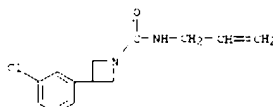


RN 231954-38-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-chlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

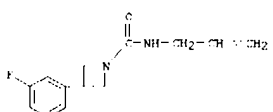
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



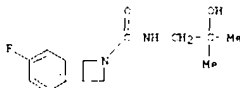
RN 231954-29-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-chlorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 231954-31-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



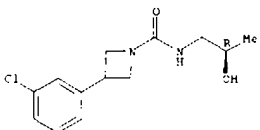
RN 231954-32-8 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(4-fluorophenyl)-N-[(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)



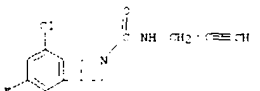
RN 231954-34-0 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2-hydroxy-2-methylpropyl)-3-[(3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.

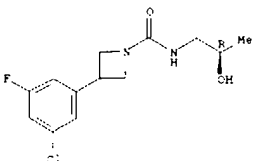


RN 231954-39-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-chloro-5-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 231954-40-8 CAPLUS
CN 1-Azetidinecarboxamide, 3-[(3-chloro-5-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

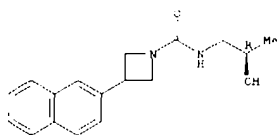
Absolute stereochemistry.



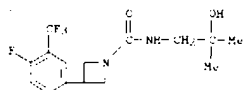
RN 231954-41-5 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-[(2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

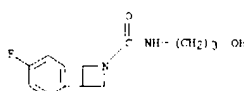
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



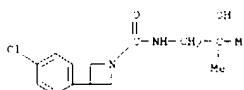
RN 231954-42-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-[4-fluoro-3-(trifluoromethyl)phenyl]-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 231954-43-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 231954-44-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

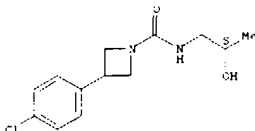


RN 231954-45-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

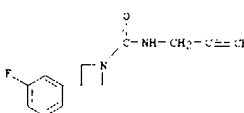
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 231954-49-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

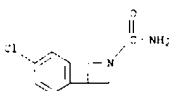
Absolute stereochemistry.



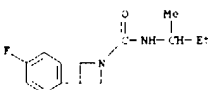
RN 231954-50-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-fluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 231954-51-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

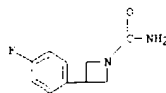


RN 231954-52-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

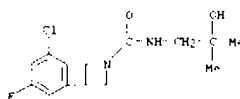


RN 231954-57-3 CAPLUS

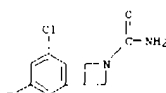
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231954-46-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(2-chloro-5-fluorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

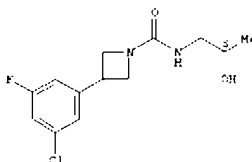


RN 231954-47-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(2-chloro-5-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

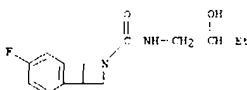


RN 231954-48-6 CAPLUS
CN 1-Azetidinecarboxamide, 3-(2-chloro-5-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

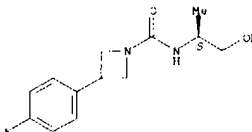


L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(2-hydroxybutyl)- (9CI) (CA INDEX NAME)

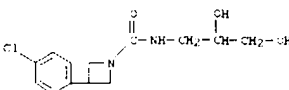


RN 231954-55-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-[(1S)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



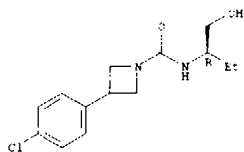
RN 231954-56-6 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2,3-dihydroxypropyl)- (9CI) (CA INDEX NAME)



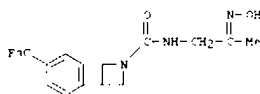
RN 231954-59-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1R)-1-(hydroxymethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

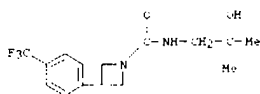
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231954-60-2 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2-hydroxy-2-methylpropyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

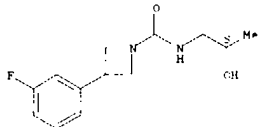


RN 231954-61-3 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2-hydroxy-2-methylpropyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

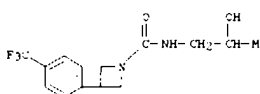


RN 231955-16-1 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2-hydroxy-2-methylpropyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



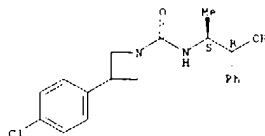
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1-Azetidinecarboxamide, N-[(2-hydroxy-2-methylpropyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

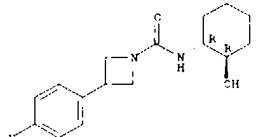
RN 323204-64-8 CAPLUS
CN 1-Azetidinecarboxamide, N-[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

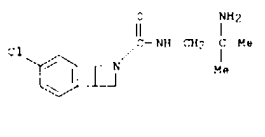


RN 323204-65-9 CAPLUS
CN 1-Azetidinecarboxamide, N-[(1R,2R)-2-hydroxy-1-methyl-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 323204-66-0 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2-amino-2-methylpropyl)-3-[4-chlorophenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



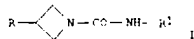
● HCl

RN 323204-67-1 CAPLUS

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

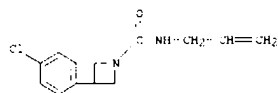
ACCESSION NUMBER: 1999:487270 CAPLUS
DOCUMENT NUMBER: 131:102183
TITLE: Azetidinecarboxamide derivatives for treating CNS disorders
INVENTOR(S): Shepherd, Robin Gerald; Adams, David Reginald; Bentley, Jon; Bowkin, Corinna; Dargatzis, Ian; Anthony; Davidson, James Edward Paul; Mansell, Howard; Langham; Monck, Nathaniel Julius
PATENT ASSIGNEE(S): Cerebrus Limited, UK; Shepherd, Jay, Miriam
SOURCE: PCT Int. Appl., 46 pp.
CODEN: FIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937613	A1	19990729	WO 1999-08223	19990122
W: AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IL, IN, IS, JP, KE, KG, KH, KR, KZ, LC, LU, LV, LT, LI, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, PC, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AW: GH, GM, KE, LS, MW, SD, SZ, US, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AN 9921320	A1	19990809	AN 1999-21760	19990122
EP 1049670	A1	20001108	EP 1999-901781	19990122
EP 1049670	R1	20020717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002501046	T2	20020115	JP 2000 528537	19990122
AT 270665	E	20020915	AT 1999-901781	19990122
ES 2181387	T3	20030216	ES 1999 901781	19990122
PRIORITY APPLN. INFO.:				
GB 1998-1499 A 19980123				
GB 1998-24458 A 19980123				
WO 1999 08223 W 19990122				
OTHER SOURCE(S): MARPAT 131:102183				
GI				

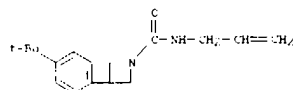


AB Title compd. I (R is aryl; and R1 is H or alkyl); pharmaceutically acceptable addn. compds. thereof; and their use in therapy, particularly for the treatment and prophylaxis of CNS disorders such as anxiety and epilepsy is covered. 1-[4-Fluorophenyl]-1-(4-phenylmethyl)azetidine (III), prepd. by Grignard reaction of 1-(4-phenylmethyl)-3-azetidinone with 4-fluorophenylmagnesium bromide followed by dechlorination, was treated with phosgene followed by allylamine, propargylamine or (R)-1-amino-2-propanol to give I [R = p-FC6H4, R1 = allyl, propargyl (III), CH2CH(OH)Me (R), resp.]. Among the approx. 40 azetidines similarly prepd. were I [R = p-ClC6H4, p-t-BuC6H4, 3,4-Cl2C6H3, m-, p-F3CC6H4; R1 = same as above, CH2CH(OH)Me (SI)]. Azetidine III was an effective

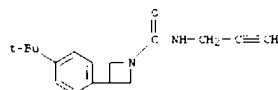
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 joximelytic at a dose of 100 mg/Kg in the rat.
 IT 231953-48-0P 231953-49-4P 231953-50-7P
 231953-51-0P 231953-55-2P 231953-56-3P
 231953-57-4P 231953-58-5P 231953-59-9P
 231953-60-9P 231953-71-2P 231953-78-9P
 RL: BAC (Biological activity of effector, except adverse); BSU (Biological study, unclassified); SYN (Synthetic preparation); BICL (Biological study); PREP (Preparation)
 (prepn. and anti-anxiety and anti-epileptic activity of azetidinedicarboxamides)
 RN 231953-45-0 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-chlorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 231953-49-4 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-[4-(1,1-dimethylethyl)phenyl]-N-2-propenyl- (9CI) (CA INDEX NAME)



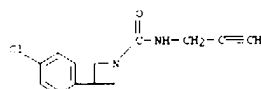
RN 231953-50-7 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-[4-(1,1-dimethylethyl)phenyl]-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 231953-51-8 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-[4-(1,1-dimethylethyl)phenyl]-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

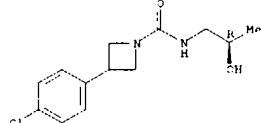
Absolute stereochemistry.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 231953-59-5 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-chlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)



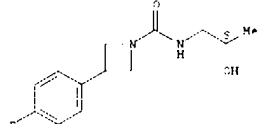
RN 231953-59-6 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-chlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 231953-60-9 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

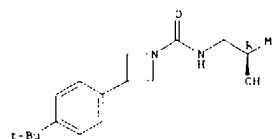
Absolute stereochemistry.



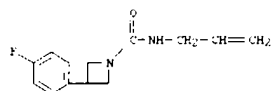
RN 231953-71-2 CAPLUS
 CN 1-Azetidinedicarboxamide, N-[(2S)-2-hydroxypropyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

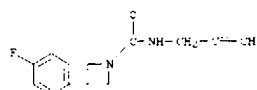
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231953-55-2 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

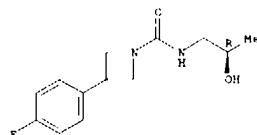


RN 231953-56-3 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

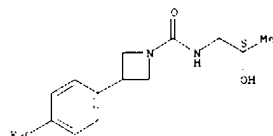


RN 231953-57-4 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(4-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

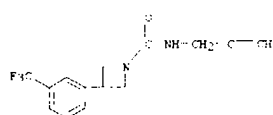
Absolute stereochemistry.



L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231953-78-9 CAPLUS
 CN 1-Azetidinedicarboxamide, N-2-propenyl-3-(3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

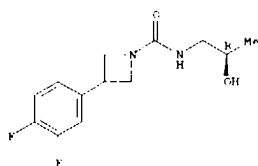


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 231953-83-6 231953-84-7 231953-85-8
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 231953-92-7 231953-94-9 231953-95-0
 231953-97-2 231953-99-4 231954-02-2
 231954-04-4 231954-06-6 231954-08-8
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 231954-45-3 231954-46-4 231954-47-5
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 231954-57-7 231954-58-8 231954-59-9
 231954-60-2 231954-61-3 231955-16-1
 RL: PEI (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
 (prepn. and anti-anxiety and anti-epileptic activity of azetidinedicarboxamides)

RN 231953-80-3 CAPLUS
 CN 1-Azetidinedicarboxamide, 3-(3,4-difluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

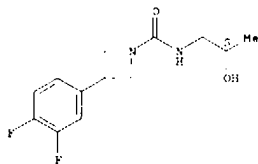
Absolute stereochemistry.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



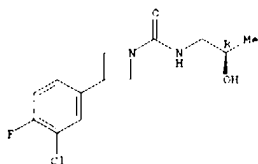
RN 231953-81-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-difluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 231953-82-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-4-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

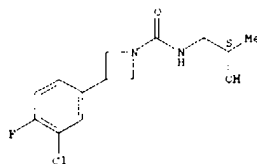
Absolute stereochemistry.



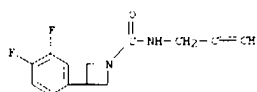
RN 231953-83-6 CAPLUS

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1-Azetidinecarboxamide, 3-(3-chloro-4-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

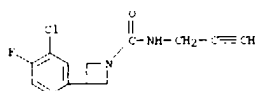
Absolute stereochemistry.



RN 231953-84-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,4-difluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



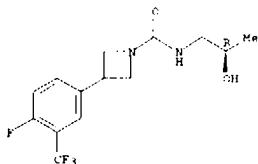
RN 231953-85-8 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-4-fluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



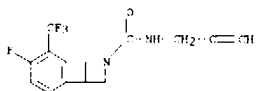
RN 231953-86-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluoro-3-(trifluoromethyl)phenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

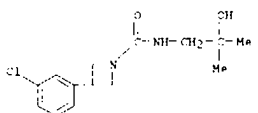
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231953-87-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluoro-3-(trifluoromethyl)phenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



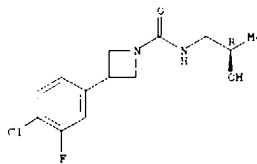
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CN 1-Azetidinecarboxamide, 3-(3-chlorophenyl)-N-[(2S)-2-hydroxy-2-methylpropyl]- (9CI) (CA INDEX NAME)



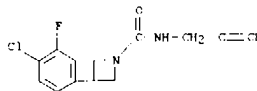
RN 231953-89-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chloro-3-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

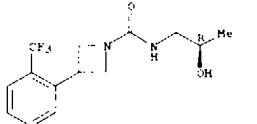


RN 231953-90-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chloro-3-fluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)

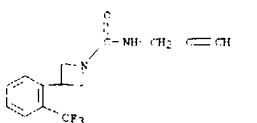


RN 231953-91-6 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



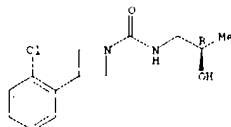
RN 231953-92-7 CAPLUS
CN 1-Azetidinecarboxamide, N-2-propynyl-3-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

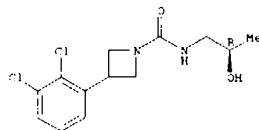
RN 231953-94-9 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(2-chlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



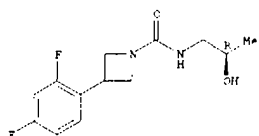
RN 231953-95-6 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(2,3-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



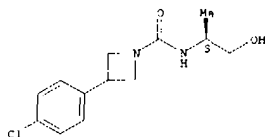
RN 231953-97-2 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(2,4-difluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

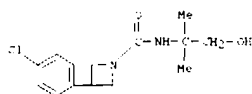


RN 231953-99-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

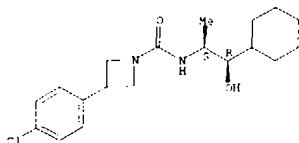


RN 231954-08-8 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1R,2S)-2-methoxy-1-methylethyl]- (9CI) (CA INDEX NAME)

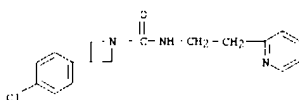


RN 231954-10-2 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1R,2S)-2-methoxy-1-methylethyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

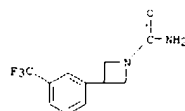


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 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

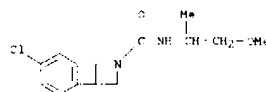


RN 231954-14-6 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

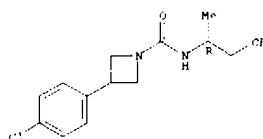


RN 231954-02-2 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2-methoxy-1-methylethyl)- (9CI) (CA INDEX NAME)



RN 231954-04-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1R)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

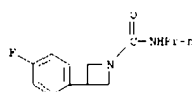
Absolute stereochemistry.



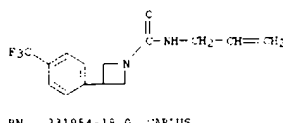
RN 231954-06-6 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1S)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

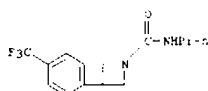
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231954-16-8 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

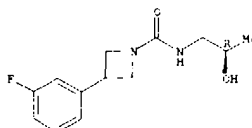


RN 231954-18-0 CAPLUS
 CN 1-Azetidinecarboxamide, N-propyl-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



RN 231954-20-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

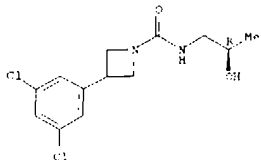
Absolute stereochemistry.



RN 231954-21-6 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3,5-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

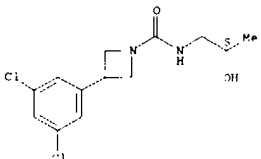
Absolute stereochemistry.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



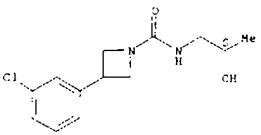
RN 231954-23-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3,5-dichlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



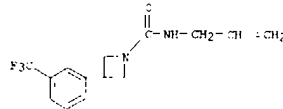
RN 231954-25-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

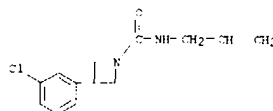


RN 231954-27-1 CAPLUS
CN 1-Azetidinecarboxamide, N-2-propenyl-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

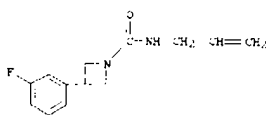
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



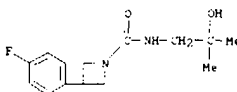
RN 231954-29-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 231954-31-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

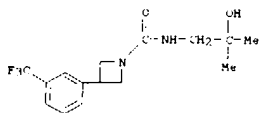


RN 231954-32-8 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

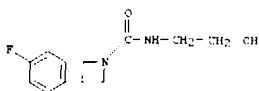


RN 231954-34-0 CAPLUS
CN 1-Azetidinecarboxamide, N-(2-hydroxy-2-methylpropyl)-3-(3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

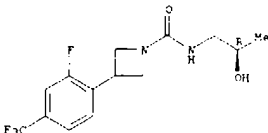


RN 231954-35-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

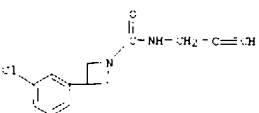


RN 231954-36-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(2-fluoro-4-(trifluoromethyl)phenyl)-N-(2R)-2-hydroxypropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 231954-37-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chlorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

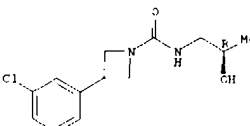


RN 231954-38-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI)

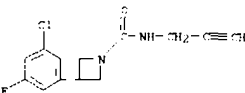
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

(CA INDEX NAME)

Absolute stereochemistry.

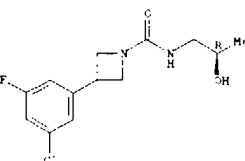


RN 231954-39-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-5-fluorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 231954-40-6 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-5-fluorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

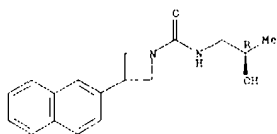
Absolute stereochemistry.



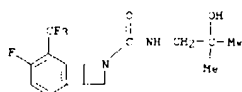
RN 231954-41-9 CAPLUS
CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

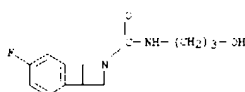
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



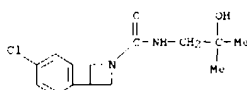
RN 231954-42-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-([4-fluoro-3-(trifluoromethyl)phenyl]-N-(2-hydroxy-2-methylpropyl))- (9CI) (CA INDEX NAME)



RN 231954-43-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(5-hydroxypropyl)- (9CI) (CA INDEX NAME)



RN 231954-44-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

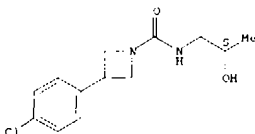


RN 231954-45-3 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

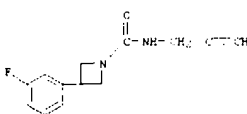
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 231954-49-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

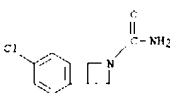
Absolute stereochemistry.



RN 231954-50-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-fluorophenyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 231954-51-1 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

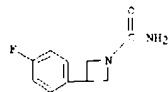


RN 231954-52-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

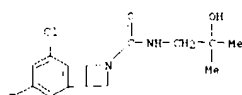


RN 231954-53-3 CAPLUS

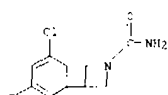
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 231954-46-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-5-fluorophenyl)-N-(2-hydroxy-2-methylpropyl)- (9CI) (CA INDEX NAME)

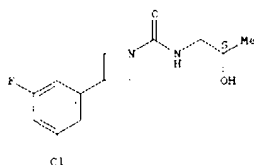


RN 231954-47-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-5-fluorophenyl)- (9CI) (CA INDEX NAME)



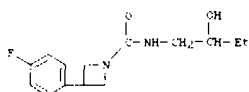
RN 231954-48-6 CAPLUS
CN 1-Azetidinecarboxamide, 3-(3-chloro-5-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



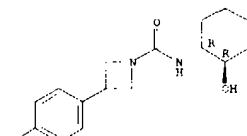
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 231954-49-7 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-(2-hydroxybutyl)- (9CI) (CA INDEX NAME)



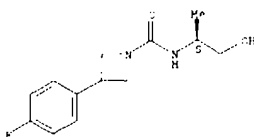
RN 231954-54-4 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1R,2R)-2-hydroxy-1-cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

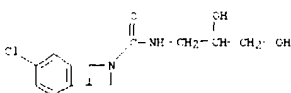


RN 231954-55-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-fluorophenyl)-N-[(1S)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

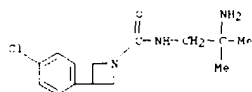


RN 231954-56-6 CAPLUS
CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-(2,3-dihydroxypropyl)- (9CI) (CA INDEX NAME)

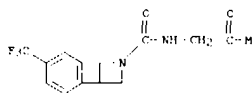


L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 231954-57-7 CAPLUS
 CN 1-Azetidinecarboxamide, N-(2-amino-2-methylpropyl)-3-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

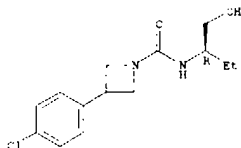


RN 231954-58-6 CAPLUS
 CN 1-Azetidinecarboxamide, N-(2-oxopropyl)-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



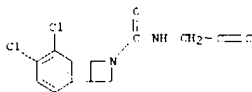
RN 231954-59-9 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(4-chlorophenyl)-N-[(1R)-1-(hydroxyethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



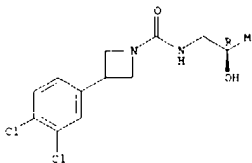
RN 231954-60-2 CAPLUS
 CN 1-Azetidinecarboxamide, N-[2-(hydroxyamino)propyl]-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



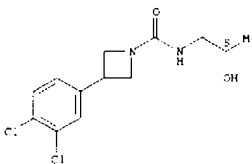
RN 231953-65-4 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3,4-dichlorophenyl)-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 231953-66-5 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3,4-dichlorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

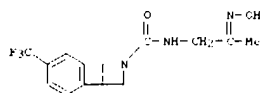
Absolute stereochemistry.



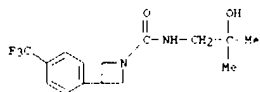
RN 231953-70-1 CAPLUS
 CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

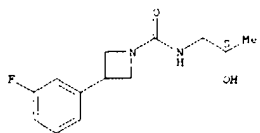


RN 231954-61-3 CAPLUS
 CN 1-Azetidinecarboxamide, N-(2-hydroxy-2-methylpropyl)-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



RN 231955-16-1 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3-fluorophenyl)-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

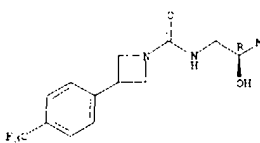
Absolute stereochemistry.



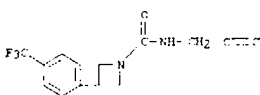
IT 231953-64-3P 231953-65-4P 231953-66-5P
 231953-70-1P 231953-72-3P 231953-76-7P
 231953-77-8P 231953-79-0P
 HL: SYN (Synthetic preparation); PREP (Preparation)
 (prepn. and anti-emetic and anti-epileptic activity of
 azetidinecarboxamides)

RN 231953-64-3 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(3,4-dichlorophenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

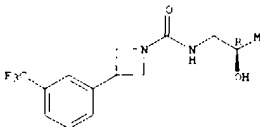


RN 231953-72-3 CAPLUS
 CN 1-Azetidinecarboxamide, N-2-propenyl-3-(4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



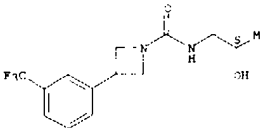
RN 231953-76-7 CAPLUS
 CN 1-Azetidinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-(3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

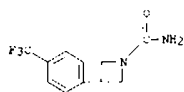


RN 231953-77-8 CAPLUS
 CN 1-Azetidinecarboxamide, N-[(2S)-2-hydroxypropyl]-3-(3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

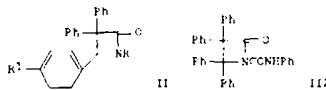


L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 231053 7/0 CAPLUS
 CN 1-Azetidinecarboxamide, 3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

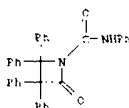
L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1981:515162 CAPLUS
 DOCUMENT NUMBER: 95:115162
 TITLE: Syntheses of N-substituted 3,3,4-triaryl- and 3,3,4,4-tetraphenyl-2-azetidinediones
 AUTHOR(S): Mehrotra, Kailash Nath; Singh, Surendra Bahadur
 CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varanasi, 221005, India
 SOURCE: Bulletin of the Chemical Society of Japan (1981), 54(6), 1838-40
 CODEN: BCSJAB; ISSN: 0009-2673
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ST



AB The reactions of N2CPhCO2Ph (I) with RCH:NHC6H4R1 (R = Me2CH, Ph2CH, MePhCH; R1 = H, 4-MeO, 4-Cl, 4-NO2, 4-Me2N) gave 2-azetidinediones II together with 1,1',4,4'-tetraphenyl-2,2'-azindiothianone. I reacts with Ph2C:NCO2Ph to give III.
 78846-98-78

IT RL: SYN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 78846-98 7 CAPLUS
 CN 1-Azetidinecarboxamide, 4-(4-N-2,2,2,3,3-pentaphenyl- (9CI) (CA INDEX NAME)

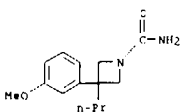


L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:435829 CAPLUS
 DOCUMENT NUMBER: 69:35829
 TITLE: Analgesics based on the azetidine ring
 AUTHOR(S): Bishop, B. C.; Cavalla, J. F.; Lockhart, I. M.; Wright, K. J.; Winder, C. V.; Wong, A.; Stephens, M.
 CORPORATE SOURCE: Parke, Davis and Co., Mundlow, UK
 SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 466-70
 CODEN: JMCMAH; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English

GI For diagram(s), see printed CA issue.
 AB A series of azetidines (I) has been synthesized and examd. for analgesic activity. The activity is comparable with that of pyrrolidines prepared previously. Relative activities within the azetidine series do not, however, closely parallel those of the corresponding pyrrolidines.

IT 19832-50-9P
 RL: SYN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 19832-50-9 CAPLUS
 CN 1-Azetidinecarboxamide, 3-(m-methoxyphenyl) 3-propyl- (8CI) (CA INDEX NAME)



L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1964:60733 CAPLUS
 DOCUMENT NUMBER: 60:60733
 ORIGINAL REFERENCE NO.: 60:10626b-h,10627a e
 TITLE: Substances acting on the central nervous system. XXXIII. 3-Hydroxymethyl-3-phenylazetidine and derivatives
 AUTHOR(S): Testa, Emilio; Fontanella, Luigi; Ravaia, Mario
 CORPORATE SOURCE: Lepetit S.p.A., Milan
 SOURCE: (1964) 97 106
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

GI For diagram(s), see printed CA issue.
 AB Cf. CA 59: 12735h; 60: 6847f. I (R = R' = H) (II) and a 60% of its derivs. were prepd. for pharmacol. investigation. To a suspension of 32 g. LiAlH4 in 500 cc. tetrahydrofuran (THF) was added slowly dropwise at below 20.degree. a 10% soln. of 38 g. 3-hydroxymethyl-3-phenyl-2-azetidinedione (I) in THF and the mixt. refluxed 8 hrs. to give 17.85 g. II, m. 135-7.degree. (EtOH); HCl salt m. 211-12.degree. (EtOH). Phthalimide (2.26 g.) in 40 cc. 95% EtOH heated 5 min. at 60-70.degree. with 4.70 cc. 39% aq. HCl, the soln. treated dropwise at 60-70.degree. with 2.5 g. II in 35 cc. EtOH, boiled 30 min., filtered hot, and concd. in vacuum, the oily residue dissolved in 100 cc. abs. Et2O, and the soln. filtered and treated with satd. Et2O-HCl gave 3.08 g. I (R = phthalimidomethyl, R' = H) HCl salt, m. 165-7.degree. (EtOH). 5,3-Diisopropyl-2,4-azetidinedione (3.1 g.), 35 cc. EtOH, 1.4 cc. 39% aq. HClO4, and 3 g. II in 60 cc. EtOH treated similarly gave 6.1 g. I (R = 3,3-diisopropyl-2,4-dioxazolidinylmethyl, R' = H), m. 90-2.degree. (aq. EtOH). I (HCl) (2 g.) and 650 mg. NaOAc in 20 cc. H2O heated 15 min. at 60.degree. and refrigerated overnight gave 1.5 g. I (R = CONH2, R' = H) (III), m. 180-2.degree. (abs. EtOH). III (900 mg.) in 25 cc. CHCl3 treated at 0.degree. with stirring with 400 mg. NaOAc under anhyd. conditions followed by dry HCl (1 hr.) and 400 mg. NaOAc added followed by dry HCl (1 hr.) gave 120 mg. I (R = R' = CONH2), m. 2213.degree. (H2O). II (2 g.) in 30.degree. cc. abs. PhMe treated dropwise with 2.8 g. PhNCO, and the mixt. heated 15 min. at 50.degree. and cooled to 0.degree. gave 3.1 g. I (R = CONHPh, R' = H), m. 211-12.degree. (abs. EtOH). II (10 g.) dissolved in 60 cc. cold N H2SO4, the soln. treated gradually at 0-5.degree. with 5.4 g. NaNO2 with stirring, let warm up slowly, heated 30 min. on a water bath, treated with 2 g. NaNO2, boiled 15 min., and cooled, and the product isolated with EtOAc: Et2O gave 7 g. I (R = NO, R' = H) (IV), m. 72-5.degree. (Et2O). To a cold (0-5.degree.) suspension of 580 mg. LiAlH4 in 20 cc. THF was added slowly 2 g. IV in 20 cc. THF with stirring, and the mixt. heated slowly to 45.degree. and stirred 2 hrs. at 45.degree. to give 660 mg. I (R = NH2, R' = H), m. 105-12.degree. (EtOAc); p-methoxybenzylidene deriv. m. 122-3.degree. (abs. EtOH). To a suspension of 2 g. II in 10 cc. AcOH was added 1.1 cc. AcCl at 20.degree. and the mixt. let stand 24 hrs. to give 2.1 g. I (R = H, R' = Ac) HCl salt, m. 145-7.degree. (MeOH-Et2O). (Method A) II (2.5 g.) in 5 cc. pyridine treated dropwise with 2.5 cc. (EtO)2P(=O) (V) with identical stirring, the soln. let warm up slowly, kept overnight at 20.degree., dild. with 15 cc. EtOH, boiled 15 min., and evapd. in vacuum, the residue dissolved in 2N HCl, the soln. extd. with Et2O, and the extd. distd. gave 1.7 g. I (R = R' = EtO) (VI), b.p. 4 175-85.degree. (air bath). (Method B) II (3.5 g.) and 10 cc. V heated 30 min. at 130.degree. and the mixt. cooled to 80.degree., dild. with 30 cc. EtOH, heated 30 min. at 80-90.degree., and then processed by method A gave 4.34 g. VI, b.p. 4 175-85.degree. (air bath). From II and A-20 was prepd. by method B VII I (R = R' = Ac) (VII), m. 104-5.degree. (EtOAc). From II and B-Cl was prepd. by method A VIIa I (R = R' = Bz) (VIIa), m. 98-100° (Et2O). VII (1.9 g.) in 13 cc. MeOH kept overnight at 20.degree. with 13 cc. 10% aq. KOH, the soln. evapd. in vacuum, the residue

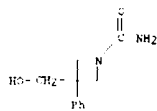
ANSWER 5 OF 10: CAUFUS COPYRIGHT 2003 ACS on STN (Continued)
extd. with EtOAc, and the ext. concd. to small vol. dehydrated 5.01 g. I (R = Ac, R' = H) (VIII). m. 119-21 degree. (EtOAc). Crude II (obtained by redn. of 109 g. IX with 65 g. LiAlH4) dissolved in 100 mL, and the soln. extd. with EtOAc and made alk. with 500 mg. NaOH gave 66 g. VIII. m. 120-1 degree. (EtOAc). X (R = H, R' = H) in 25 cc. 100 mL refluxed 45 min. the soln. evaporated, and the residue dried reduced pressure. Crude X gave EtOH gave 1.95 g. II. HCl. m. 210-12 degree. (EtOH). V: hydrolyzed-like VII gave 914 IR: ν (K) = H, ν (H) = 767 degree. (EtOAc). II 14 g. ν dissolved in 2.25 g. HCOOH under cooling after 2 min. 800 cc. 35% aq. HNO3 added, followed by 4 cc. H2O, the soln. heated slowly, boiled 2 hrs., treated with 6 cc. 12N HCl at the b.p., and after 5 min. cooled, in vacuum, the solid removed, and the filtrate dried reduced pressure. Crude EtOAc gave EtOH gave 1.2 g. I (R = Me, R' = H) HCl salt (IX-HCl). m. 151-3 degree. (EtOH-EtOAc). IX m. 56-7 degree. (sublimation at 69 degree./2 mm.); picrate m. 159-9 degree. (EtOH) (methide m. 182-3 degree. (EtOAc). To a suspension of 1 g. LiAlH4 in 50 cc. EtOAc was added dropwise 1.5 g. VII in 150 cc. EtOAc with stirring and cooling and the mixt. slowly brought to the b.p. (refluxed 1 hr. at 100 degree. (EtOAc). The soln. cooled, and the solid removed, and the filtrate dried reduced pressure. Crude EtOAc gave EtOH gave 90-1 degree. (EtOAc). HCl salt m. 154-7 degree. (EtOH-EtOAc); picrate m. 125-7 degree. (EtOH); methide m. 113-15 degree. (EtOAc). To a stirred suspension of 15 g. LiAlH4 in 200 cc. EtOAc was added dropwise 21 g. VIIa in 400 cc. EtOAc with cooling to give 14.6 g. I (R = PhCH2, R' = H) HCl salt (X-HCl). m. 150-5 degree. (EtOAc), which 1500 mg. was dissolved in 10 cc. EtOH and treated with 10 cc. 35% aq. HNO3, followed by 10 cc. EtOH, and the solid removed, and the filtrate dried reduced pressure. Crude EtOAc gave EtOH gave 64% (66% pure, ethyl meth. inside, m. 143 degree. (MeCOEt-EtOAc). Very finely powd. NaOCl (1.2 g.) added to 3 g. IX in 50 cc. dry CHCl3 at 0 degree. (anhyd. conditions), the mixt. treated with dry HCl at 0 degree., treated with 1.2 g. finely powd. NaOCl, followed by dry HCl for 1 hr., stirred 1 hr. at 0 degree., and extd. with H2O, and the aq. soln. dried reduced pressure. Crude EtOAc gave EtOH gave 1.5 g. I (R = Me, R' = HNO2). m. 119-22 degree. (EtOAc). Fl. m. IX and X and NaOCl-N were similarly prepd. 674 I (R = Et, R' = HNO2), m. 94-5 degree. (EtOAc). (methide m. 177-8 degree.), and 630 I (R = PhCH2, R' = HNO2), m. 101-2 degree. (EtOAc), resp. IX-HCl (3.5 g.) in 15 cc. AcOH treated slowly with 2.15 cc. EtOAc and the soln. left stand 24 hrs. gave 3.15 g. I (R = Me, R' = HCl) (EtOAc). 675 I (R = Et, R' = HCl) (EtOAc) was prepared from 2.2 g. 20-5, 80-5 degree. (air bath). IXa and X treated similarly with EtOAc gave 794 I (R = Et, R' = EtOAc) HCl salt, m. 155-7 (MeCOEt), and 634 I (R = PhCH2, R' = EtOAc), m. 140-160 degree. (air bath) (picrate m. 123-7 degree. (EtOAc); H salt m. 140-2 degree. (EtOAc)), resp. IXa (3 g.) in 50 cc. Ac2O heated 30 min. at 120 degree. the soln. cooled to 10 degree., and the solid removed, and the filtrate dried reduced pressure. Crude EtOAc gave EtOH gave 1.5 g. I (R = Et, R' = EtOAc), m. 116-18 degree. (EtOAc). The residue extd. with EtOAc gave 2.85 g. AcOEtCH2CH2 (CH2OAc) 2 (XII). m. 79-80 degree. (iso-EtOAc). XII (3.3 g.) in 50 cc. 100 mL refluxed 45 min. gave 1.2 g. (HOCH2)2C(CH2OH) Et. HCl (XIII) (EtOAc). m. 116-18 degree. To a suspension of 12 g. LiAlH4 in 150 cc. EtOAc was added dropwise 18 g. AcOEtCH2CH2 (CH2OAc) Et in 250 cc. EtOAc with stirring and cooling and the soln. slowly brought to the b.p. (refluxed 1 hr. at 100 degree. (EtOAc). The soln. cooled, and the solid removed, and the filtrate dried reduced pressure. Crude EtOAc gave EtOH gave 5.9 g. XIII-HCl, m. 116-18 degree. (MeCOEt). XXXIV: 3-Hydroxy-3-phenylacetaldehyde. Emilio Testa and Luigi Fontanella. Ibid. 106 8. To RmHg soln. was added dropwise during 3 hrs. 50 g. H2NCH2CH2(OH) EtOAc in 3 l. dry EtOAc at below 25 degree. with stirring and the soln. refluxed 3 hrs. and kept warming to give 5.25 g. 3-Hydroxy-3-phenylacetaldehyde. To a soln. of 5.25 g. 3-Hydroxy-3-phenylacetaldehyde in 150 cc. abs. tetrahydrofuran (THF) was added dropwise 3.6 g. I in 150 cc. THF at below 20 degree. with stirring and the mixt.,

ANSWER 6 OF 19 CAPLUS: CRYFIGHT 2003 ACT 66 STN
ACCESSION NUMBER: 1964;15448 CAPLUS
DOCUMENT NUMBER: 59125458
ORIGINAL REFERENCE NO.: 59125458 h, 27466-h
TITLE:
Substances acting on the central nervous system. XXVI.
Chemical synthesis of 3-substituted acetazolines. 1.
Tosha, Emilia; Fontana, Luigi; Mariani, Luigi
Lepetit S.p.A., Milan, Italy
Ann. (1962), 160, 135-43
Journal
Language:
Unavail. label
For diastereois., see printed CA issue.
Cf. CA 55, 4664a, 27470; 55, 4665. Deriv. of acetazide (I): prep. of
1-(1H-imidazol-2-yl)-3-phenyl-3-ethylazetidine (II), and 12,5 g. 3-phenyl-3-
ethylazetidine (III) in 30 cc. abs. Et2O at 0-5.degree., held 1 hr. at
0.degree., and acidified with cold dil. HCl yielded in isolation 14 g.
crude N-(beta.-chloropropionyl)-3-phenyl-3-ethylazetidine (IV) (decamp.
in distn.), which on refluxing 4 hrs. with 4.47 g. Et3NH and 11.2 g. 11 in 30
cc. abs. C6H6, yielded on isolation an oil. The oil was taken up in
Et2O, decolorized with 10% aq. NaOH, washed with cold water, dried, and
pct. of 15.2 g. N-(beta.-diethylammonopropionyl)-3-phenyl-3-ethylazetidine
citrate, m. 142.degree. (EIOCAC-MeOH). IV (14 g.), 4.85 g. morpholine,
11.2 g. 11, and 30 cc. abs. C6H6 was refluxed 4 hrs. and similarly gave an
Et2O soln., which with aqueous HCl yielded 9.3 g. N-(beta.-
morpholinopropionyl)-3-phenyl-3-ethylazetidine hydrochloride, m.
142.degree. (EIOCAC-MeOH). MeCH(OAc)COCl (9.33 g.) slowly added to a
soln. of 10 g. (III) and 2.2 g. 11 in 30 cc. abs. C6H6 at 0.degree., and
after 2 hrs. poured into water yielded on isolation an oil.
Hydrolysis of the oil by refluxing 30 min. with 170 cc. aq. NaHCO3 and
170 cc. MeOH gave 7.1 g. N-(alpha. hydroxypropionyl)-3-phenyl-3-ethyl-
azetidine, m. 79-81.degree. (lit. 80-81). 4-Phenyl-1-isopropylazetidine (7
g.) treated with 10% aq. NaOH, poured into 40 cc. abs. Et2O, heated 65 min. at
115-70.degree., cooled to 0.degree., poured into 250 cc. aqueous H2O,
cooled to 0.degree., adjusted to pH 8.9, extd. with Et2O, washed with 10%
NaOH and then H2O to yield 90% N-propionyl-3-phenyl-3-isopropylazetidine,
b.p. 4-140.degree.. Reaction of 5 g. 3-phenyl-3-butylazetidine with V
similarly gave 89% N-propionyl-3-phenyl-3-butylazetidine, b.p. 6
150.degree.. Et3N from 21 g. Na and 100 cc. abs. EtOH in 350 cc. abs.
PhH (11 g. PhCH2Br and 236 g. Et2O) (VI) was distd. off slowly until the
Et3N reached 110-120.degree., the residue cooled to 40.degree., 136 g.
Et2OCH2CH2COCl and 250 cc. abs. EtOH added, refluxed 90 min., extd. in
vacuo to 320 cc., and 200 cc. H2O added, extd. with Et2O, the Et2O evap., in
vacuo to 320 cc. and then H2O to yield on distn. 250 g. ethyl
-alpha.-phenyl-alpha.-(beta.-diethylammonopropionyl)-alpha.-magnanoate, b.p.
15-147-51.degree. (VII). Ethyl (150 g.) was reduced with H at 50 atm. in
100 MeOH, filtered, and extd. with Et2O to give on distn. 161
g. phenyl-3-(beta.-diethylammonopropionyl)-3-ethylazetidine (IX), b.p.
isolation and distn. 70% ethyl -alpha.-phenyl-alpha.-(beta.-diethyl-
diaminomethyl)-beta.-amino-3-propionate (VIII), b.p. 134-6.degree.. VIII
(140 g.) in 280 cc. abs. Et2O was stripped into a Grignard soln. (from 42 g.
Mg, 256 g. MeI, and 720 cc. abs. Et2O) at 20-5.degree., after 1 hr.
cooled to 0-5.degree., and acidified. The aq. layer was alkalized with
10% NaOH, filtered, and extd. with Et2O to give on distn. 161
g. phenyl-3-(beta.-diethylammonopropionyl)-3-ethylazetidine (IX), b.p.
134-45.degree.. IX (10.9 g.) and 6.4 g. LiAlH4 in abs. Et2O was refluxed
4 hrs., cooled to 0.degree., treated with 50 cc. 20% NH4Cl soln., followed
by isolation and distn. of the product yielded 331 3-phenyl-3-
(beta.-diethylammonopropionyl)azetidine (XI), b.p. 125-30.degree.. X (3.1 g.)
and 6.5 g. V were allowed to react and the product isolated to yield 20%
N-propionyl-3-phenyl-3-ethylazetidine (XII), b.p. 110-115.degree.. XI
(160-5.degree.). p-MeOC6H4CH2COCl (11 g.) added to a soln. of Na-OEt from
19.5 g. Na and 76 cc. abs. EtOH in 300 cc. abs. PhMe at 50.degree., 220

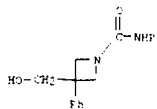
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
refluxed 4 hrs. to give 8.1 g. 1-(4-hydroxy-3-phenylazetidine) (II) (8.0% yield)
(III), m. 190-2 degree. (decolor.) 1:1 EtOH-H₂O. III (4 g.) dissolved
in the least possible amt. H₂O, the soln. made alk. with 50% aq. NaOH in
water, sat'd with NaCl, and dec. repeatedly w/ Et₂O, and the
combined exts. dried, and 1:3 Et₂O-H₂O cooled to 0 degree, gave 2.1
g. II, m. 160-2 degree. (1:1 Et₂O-EtOH).

IT 9180-95-0, 1-Azetidinocarboxamide, 3-(hydroxymethyl)-3-phenyl-
93649-10-6, 1-Azetidinocarboxamide, 3-(hydroxymethyl)-1-phenyl-
(prepn. 5).

FN 9180-95-0 CAPLUS
CN 1-Azetidinocarboxamide, 3-(hydroxymethyl)-3-phenyl (CN) (CA INDEX NAME)

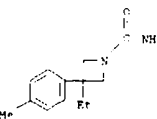


KN 93649-19-6 CAPLUS
 CN 1-Azetidin-2-carboxanilide, 3-(hydroxymethyl) 3-phenyl- (7CI) (CA INDEX NAME)



ANSWER 6 CY 10: ANILINUS. COPYRIGHT 2003 ACS ON STN (Continued)
 on. VI and 50 cc. abs. PMA added, the soln. slowly distd. 4 hrs. until
 the b.p. reached 110.degree., cooled to 40.degree., and 129 g. EtBr (XI)
 in 210 cc. abs. EtOH added, the mixt. refluxed 2-3 hrs. (to a neutral
 reaction). EtOH removed in vacuo, the residue treated with H₂O, and
 the product isolated by extraction with 80% ethyl alcohol. Yield, 100 g.
 ethyl- α -ethyl- γ -acetate (XII). b.p. 55-57.degree./12 mm. n_D²⁰ 1.4090.
 300 cc. abs. EtOH reduced with H and Haney Ni at 60 atm. and 70.degree.,
 gave 73 g. Et- α -ethyl- β -ethyl- α -ethyl- β -amino-propionate (XIII).
 b.p. 118-121.degree./pressure; n_D²⁰ 1.465-1.466.degree. (EtOH). XIII (110.5 g.)
 in 300 cc. abs. EtO slowly stirred into 1 l. Brnald soln. (36.5 g. Mg, 165
 cc. EtO). Refl. 9 hrs. until the H₂ was used up. The soln. cooled, and
 then, held 12 hrs. longer, decomposed with NH₄OH soln., followed by
 isolation of the product gave 88 g. β -ethyl- γ -ethylazetidine-2-one (XIV),
 m.p. 73.6.degree. (petr. ether). XIV (15 g.) and 12 g. LiAlH₄ in abs. EtO,
 refluxed 5 hrs., cooled to 0.degree., and decompd. with the equiv. amt. of
 1% NH₄Cl soln. gave 79 g. β -ethyl- γ -ethylazetidine (XV), b.p. 85-
 86.degree./12 mm. n_D²⁰ 1.404-1.405. 208-9 g. EtO and 10 g. LiAlH₄ were m.
 175.degree. (acetone). EtOH-H₂O. XV (5 g.) treated with 15 cc. V gave 80 g.
 N-propionyl- β -ethyl- γ -ethylazetidine, b.p. 85-140.degree. V gave 80 g.
 N-propionyl- γ -ethyl- γ -ethylazetidine (15 g.) added dropwise with stirring
 over a 2-hr. period to 50 cc. fuming HNO₃ at -35.degree., the temp.
 allowed to rise to 15.degree. during 45 min., stirred an addnl. 30 min.,
 cooled and added water, and the product isolated and distd. gave 81 g.
 N-propionyl- β -(β -nitroethyl)- γ -ethylazetidine (XVI), yellow oil, b.p. 3-
 185-195.degree. XVI (5 g.) in 25 cc. 10% HCl, refluxed 30 min. with 25
 cc. concd. HCl, extd. with EtO, the aq. phase alkalinized with 5% NaOH
 soln. further extd. with EtO, the residue from the second EtO ext. taken
 up with 50 cc. EtOH and reduced with H and Haney Ni. The product, a
 5-(β -nitroethyl)- γ -ethylazetidine hydrochloride (XVII), m.p. 229-31.degree.
 (abs. EtOH). XVI (5 g.) in 80 cc. abs. EtOH was reduced with H and 3 g.
 10% Pd-C at 20.degree. to give N-propionyl- β -(β -aminoethyl)- γ -
 ethylazetidine (XVIII), b.p. 180-5.degree., which gave with EtO-HCl
 N-propionyl- β -(β -aminoethyl)- γ -ethylazetidine hydrochloride
 (hydrochloride), m.p. 118-20.degree. XVII (5 g.) in 100 cc. hydrocarbonates
 and hydrogenated yielded 1.1 g. β -(β -aminoethyl)- γ -ethylazetidine (XVIII),
 b.p. 4-135-45.degree. XVIII gave from EtO soln. with CO₂ the hydrocarbonate
 carbonate (XIX), m.p. 189-9.degree. (decomp.). The structure of XVIII was
 confirmed by treating 5 g. β -(β -aminoethyl)- γ -ethylazetidine-2-one with 4
 g. LiAlH₄ in 30 cc. EtO, refluxing 6 hrs., decompd. with 20% NH₄Cl soln.,
 extg. with EtO, and distd. to give 1.1 g. XVIII. XVIII with CO₂ to obtain a ppt. of
 XIX, which on acidification and extn. gave XVIII.

IT 93428-62-7, 1-Azetidinecarboxamide, 3-ethyl-3-p-tolyl-
[prepn. cf.]
RN 93428-62-7 CASLUS
CN 1-Azetidinecarboxamide, 3-ethyl 3 p tolyl (7C1) (CA INDEX NAME)



L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

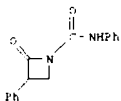
ACCESSION NUMBER: 1963:66370 CAPLUS
 DOCUMENT NUMBER: 58:66370
 ORIGINAL REFERENCE NO.: 58:11111-6,11112a-d
 TITLE: XXVIII. On additional 1-substituted 2-azetidinones
 Cignarella, Giorgio; Cristiani, Gian F.; Tassi, Emilio
 Lepetit S.p.A., Milan
 SOURCE: Ann. (1963), 661, 181-7
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

G1 For diagram(s), see printed CA issue.
 AR of. CA 56, 12875f. R¹R²CH₂CEtPhCO₂Et (I) (R = R' = H) (II) (CA 53, 6196c) (22 g.), 21 g. PhI, 21 cc. Et₃N, and 50 cc. abs. C₆H₆ refluxed 6 hrs., cooled, treated with 50 cc. H₂O, the org. layer sep'd., the aq. layer extd. repeatedly with Et₂O, the combined org. solns. dried, and fractionated gave 20.5 g. I (R' = Ph, R = H) (III), b.p. 110-112.degree., 1a (22 g.), 21 g. iso-PrI, 101 cc. Et₃N, and 150 cc. abs. C₆H₆ heated 8 hrs. at 140.degree. in an N atm. in an autoclave, the contents cooled, filtered, and the filtrate fractionated gave 16 g. crude I (R' = iso-Pr, R = H), b.p. 15-18.degree., 1a (22 g.), 16.5 g. BuBr, 20.6 cc. Et₃N, and 50 cc. abs. C₆H₆ refluxed 7 hrs., worked up as above, and redistd. gave 10.8 g. I (R = Bu, R' = H), b.p. 114.degree., 1a (22 g.), in 20 cc. MeOH added to 11 g. BzH in 15 cc. MeOH, the whole heated 5 min. at 50.degree., kept 12 hrs. at room temp. [conc'd. in vacuo of a sample gave I (R' = benzylidene), nondistillable oil], dild. to 150 cc. with MeOH, treated with 40 cc. H₂O, hydrogenated over 4 g. 10% Pd-C at room temp. and normal pressure (after 2 hrs. H absorption ceased), filtered, evap'd. in vacuo, the residual oil dissolved in Et₂O, the soln. dried, and treated with dry HCl gave 15 g. I (R = PhCH₂, R' = H) (III) HCl salt, m. 200-3.degree.; pure III-HCl m. 293-6.degree. (recrystallized to base and then to III-HCl, then recrystd. from EtOH); from 15 g. III, HCl was isolated 12 g. crude III. Et₃NHBr repeatedly extd. with C₆H₆, the combined org. solns. washed neutral, dried, and fractionated gave 23.4 IV (R = Et), b.p. 115.degree.. The following IV were similarly prepd. (R, % yield, and b.p./mm. given): iso-Pr, 27, 104.degree./0.4; Bu, 60, 105-10.degree./0.5; PhCH₂, 74, 170.degree./0.6. Typical prepns. Method A. 3-Methyl-3-phenyl-2-azetidinone (I., et al., loc. cit.) (8 g.) and 5.9 g. PhNCO in 80 cc. abs. PhMe refluxed 20 hrs., the soln. conc'd., the residue heated in vacuo (to 140.degree./1.5 mm.), and the residual product recrystd. from MeOH gave 408 V (R = R' = Ph, R' = Me), m. 84-5.degree.. Method B. 3-Ethyl-3-phenyl-2-azetidinone (VI) (I., et al., loc. cit.) (10.5 g.) and 7.5 g. PhNCO heated 3 hrs. at 170.degree., the melt cooled, and crystd. from 40 cc. MeOH gave 628 V (R = R' = Ph, R' = Et), m. 89-91.degree.. The following V were similarly prepd. (R' = Ph in all cases) (R, R', method, % yield, m.p. given): Ph, H, A, 60, 86-8.degree.; Ph, Et, A, 35, 95-7.degree.; Ph, Pr, A, 23, (b) 155-60.degree.; Ph, iso-Pr, A, 37, 127-9.degree.; Ph, Bu, A, 18, 96-7.degree.; Et, Et, A, 79, (b) 15-165-70.degree.; Ph, Ph, B, 87, 160-1.degree.. VI (10.5 g.) and 5.94 g. BuNCO in 150 cc. abs. PhMe refluxed 40 hrs. and fractionated gave 3 forerun, b.p. 110-10.degree., chiefly VI, and 1.25 g. V (R = Ph, R' = Et, R'' = Bu), b.p. 165-70.degree., du. 1740, 1709, and 1530 cm⁻¹ VI (3.5 g.) and 2 cc. 38% aq. HCHO in 35 cc. EtOH heated to boiling, treated dropwise

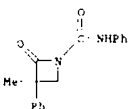
L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 with 1.65 g. pyrrolidine in 5 cc. EtOH, boiled 30 min., evap'd. in vacuo, the residual oil dissolved in Et₂O, the soln. extd. with 10% HCl, the ext. made alk. with 10% aq. NaOH, and the product isolated with Et₂O gave 85% VII (R = Ph, R' = Et, R'' = pyrrolidinyl), b.p. 140.degree.. The following VII were similarly prepd. (R, R', R'', % yield, b.p./mm. given): Ph, H, pyrrolidinyl, 72, 145-53.degree./4; p-MeOC₆H₄, H, pyrrolidinyl, 88, 170-5.degree./0.2 (m. 90.5-1.0.degree.); Et, Et, pyrrolidinyl, 86, 95-100.degree./0.4; Et, Et, Me₂N, 85, 125.degree./0.4; Ph, Et, morpholinyl, 86, 94-5.degree. (Et₂O); Ph, Et, 3,3-dimethylazetidinyl, 72, 135-40.degree./0.4; Ph, iso-Pr, pyrrolidinyl, 77, 140.degree./0.4; Ph, Bu, pyrrolidinyl, 45, 140.degree./0.5; Ph, PhCH₂, pyrrolidinyl, 74, -- (m. 139-3.degree. (EtOH)).

IT 93013-67-3, 1-Azetidinecarboxanilide, 2-oxo-3-phenyl
 93331-24-9, 1-Azetidinecarboxanilide, 3-methyl-2-oxo-3-phenyl-
 93879-35-7, 1-Azetidinecarboxanilide, 3-ethyl-2-oxo-3-phenyl-
 95163-69-2, 1-Azetidinecarboxanilide, 2-oxo-3,3-diphenyl-
 96972-99-5, 1-Azetidinecarboxanilide, 3-butyl-2-oxo-3-phenyl-
 97020-54-7, 1-Azetidinecarboxanilide, N-butyl-3-ethyl-2-oxo-3-phenyl-
 97077-29-7, 1-Azetidinecarboxanilide, 3-isopropyl-2-oxo-3-phenyl-
 97077-30-0, 1-Azetidinecarboxanilide, 2-oxo-3-phenyl-3-propyl-
 -prepn. n.f.

RN 97013-67-3 CAPLUS
 CN 1-Azetidinecarboxanilide, 2-oxo-3-phenyl- (7CI) (CA INDEX NAME)

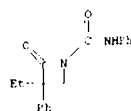


RN 93331-24-9 CAPLUS
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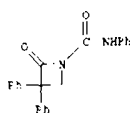


RN 93879-35-7 CAPLUS
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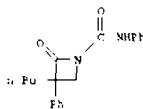
L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



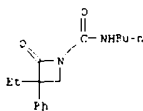
RN 93163-68-2 CAPLUS
 CN 1-Azetidinecarboxanilide, 2-oxo-3,3-diphenyl- (7CI) (CA INDEX NAME)



RN 96972-99-5 CAPLUS
 CN 1-Azetidinecarboxanilide, 3-butyl-2-oxo-3-phenyl- (7CI) (CA INDEX NAME)

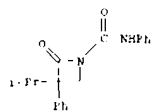


RN 97020-54-7 CAPLUS
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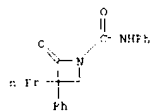


RN 97077-29-7 CAPLUS
 CN 1-Azetidinecarboxanilide, 3-isopropyl-2-oxo-3-phenyl- (7CI) (CA INDEX NAME)

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 92972-80-0 CAPLUS
CN 1-Azetidinecarboxamide, 2-(3-phenyl-3-propyl)- (7CI) (CA INDEX NAME)



L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 156245914 CAPLUS
DOCUMENT NUMBER: 56145914
ORIGINAL REFERENCE NO.: 56145914, 86613-1, 86623
TITLE: Substances acting on the central nervous system. XIV. 3,3-Di-substituted azetidines
AUTHOR(S): Testa, I. Emilio; Fontanella, Luigi; Mariani, Luigi; Cristiani, Gian Franco
CORPORATE SOURCE: Lepetit S.p.A., Milan
SOURCE: Ann. (1969), 633, 56-66
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

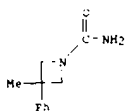
AR cf. CA 53, 17958t; 54, 3361h; 54, 3362d; 55, 27270t. I were prepd. and reduced with LiAlH₄ to II. Some N-methylazetidines were prepd. from azetidines and MeI or HCO₂CH₂CH₃. The NaCN 3,3-dialkylazetidines reaction gave I (R₂ = NH₂) (III). A mixt. of 3.22 g. 3-ethyl-3-phenylazetidine and 0.8 g. AcCl was kept at ice NaCl temp. for 30 min., then at room temp. 1 hr.; decompn. with 10 ml. ice water, extn. with Et₂O, and distn. of the washed and dried (Na₂SO₄) ext. gave 1 g. I (R = Et, R₁ = Ph, R₂ = Me), b.p. 155-65.degree.. The following I were similarly prepd. (R, R₁, R₂, and m.p. given): Et, Ph, Et, b.p. 130-80.degree.; Et, Ph, Ph, b.p. 140-100.degree.; Et, Ph, Bu, b.p. 150-150.degree.; Et, Ph, iso-Bu, b.p. 145-50.degree.; Et, Ph, Me₂C, b.p. 140-140.degree. (m. 72-4.degree. (ligroine)); Et, Ph, CH₂Ph, b.p. 190-5.degree.; Et, (CH₂)₂Ph, b.p. 180-90.degree.; Et, Ph, Ph, [m. 63-4.degree. (ligroine)]; Et, Ph, CRT, b.p. 125-30.degree.; Me, Me, CRT, b.p. 100-2.degree.. A mixt. of 4.6 g. 3,3-dipropylazetidine and 14 ml. Ac₂O was kept at 110-115.degree. for 1 hr., poured into 35 ml. 60.degree. water, brought to pH 6 with Na₂CO₃ soln., and extd. with Et₂O. The ext. was washed (Na₂CO₃ soln., water), dried (Na₂SO₄), and distd. to give 4.17 g. I (R = R₁ = Pr, R₂ = H), b.p. 96-100.degree.. Similarly the following were prepd. (R, R₁, R₂, and b.p. given): Me, Ph, Et, b.p. 150-60.degree.; Me, Ph, Me, b.p. 135-40.degree.; Ph, Pr, Et, b.p. 175-45.degree.; Bu, Ph, Me, b.p. 155-65.degree.; Ph, CH₂Ph, Me, -- [m. 125-17.degree. (EtOH)]; Ph, CH₂Ph, Et, -- [m. 79-80.degree. (aq. EtOH)]; Me, Me, Me, b.p. 80-90.degree.; Et, Me, R₂, b.p. 85-90.degree.; Et, Et, Et, b.p. 85-90.degree.; Bu, Bu, Me, b.p. 198-10.degree.. The following III were prepd. by the acyl halide-ET₃N method (R, R₁, b.p., and m.p. given): Et, Ph, --, 149-50.degree.; Me, Me, b.p. 180-6.degree., 57-61.degree.; Et, Et, b.p. 200-10.degree., 40-5.degree.. A suspension of 1.5 g. LiAlH₄ in 39 ml. abs. Et₂O was added dropwise to a soln. of 3 g. I in 20 ml. Et₂O, the mixt. was refluxed 3 hrs., treated with 10% NH₄Cl at 0.degree., filtered, and the filtrate extd. with Et₂O. The dry (Na₂SO₄) ext. was distd. to give 2.95 g. II (R = Et, R₁ = Ph, R₂ = Me) (IV), b.p. 6-8 75-80.degree.. Similarly the following II were prepd. (R, R₁, R₂, and b.p. given): Et, Ph, H, b.p. 85-90.degree.; Et, Ph, Pr, b.p. 90-90.degree.; Et, Ph, Bu, b.p. 90-90.degree.; Et, Ph, iso-Bu, b.p. 90-90.degree.; Et, Ph, Me₂C, b.p. 85-90.degree.; Et, Ph, CH₂Ph, b.p. 140-140.degree.; Et, Ph, (CH₂)₂Ph, b.p. 140-140.degree.; Pr, Pr, Me, b.p. 105-10.degree.; Bu, Bu, Me, b.p. 103-5.degree.. By the same method the following IV were prepd. (R, R₁, and b.p. given): Et, Ph (VI), [m. 62-4.degree. (EtOH)]; Me, Me (VII), b.p. 100-5.degree.; Et, Et (VIII), b.p. 2-6 135-45.degree.. A suspension of 3 g. LiAlH₄ in 30 ml. abs. Et₂O was added dropwise to a mixt. of 10 g. 3-ethyl-3-phenylazetidine, 6.3 g. AcOEt, and 50 ml. abs. Et₂O, refluxed 150 min., cooled to 0.degree., and decmpd. with 5 ml. 10% NH₄Cl. The suspension was filtered, the filtrate and the residue were extd. with Et₂O and the dried (Na₂SO₄) ext. was distd. to give 9 g. II (R = Et, R₁ = Ph,

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

R₂ = Me), b.p. 75-80.degree.. Similarly the following II were prepd. (R, R₁, R₂, and b.p. given): Et, Ph, Et, b.p. 90-5.degree.; Et, Ph, Ph, b.p. 138-40.degree.; Me, Ph, Me, b.p. 62-5.degree.; Ph, Et, Me, b.p. 80-2.degree.; Ph, iso-Pr, Me, b.p. 5-0.6 80-2.degree.; Bu, Ph, Me, b.p. 83-5.degree.; Ph, CH₂Ph, Me, b.p. 5-0.6 130-4.degree.; Ph, cyclohexyl, Me, b.p. 2-0.3 120-3.degree.; Me, Ph, CH₂Ph, b.p. 4-0 130-3.degree.. 3,3-Dimethylazetidine-2H₂O (2 g.) treated with 2 ml. MeI in 50 ml. abs. Et₂O gave 3.26 g. 1,3,3-trimethylazetidine-III, m. 138-40.degree.. Similarly, 1,3-dimethyl-3-phenylazetidine RI, m. 132-5.degree. (decompn.), was obtained from 3-methyl-3-phenylazetidine, 1-methyl-3-ethyl-3-phenylazetidine RI, m. 131-5.degree. (decompn.), from 3-ethyl-3-phenylazetidine. V treated with MeI gave V-MeI, m. 139.degree. (decompn.). Similarly, VI gave a dimethiodide, m. 100.degree. (decompn.), VII gave a dimethiodide, m. 126-8.degree., and VIII gave a dimethiodide, m. 190-1.degree. (decompn.). II (R = Et, R₁ = Ph, R₂ = H) was also prepd. from 5 g. 3-ethyl-3-phenylazetidine, 46.5 ml. HCO₂CH₃, and 3.25 ml. 27.8% CH₂O. The mixt. was heated to 105-10.degree. for 150 min., partially evapd. in vacuo, dild. with water, neutralized with Na₂CO₃, and extd. with Et₂O. The ext. was distd. and 2.39 g. product (picrate m. 118-20.degree. (EtOH)) was obtained. A soln. of 5 g. 3-ethyl-3-phenylazetidine in 55 ml. HCO₂H heated to 120-70.degree. for 1 hr. gave upon distn. 4.4 g. 3-ethyl-3-phenyl-3-phenylazetidine, b.p. 125-8.degree., m. 62-4.degree.. 3-Ethyl-3-phenylazetidine (12 g.) in 20 ml. N HCl treated with 1.3 g. NaOCH for 15 min. at 50-60.degree. gave 3.6 g. III (R = Et, R₁ = Ph), m. 154-6.degree. (54 EtOH). Similarly the following III were prepd. from the corresponding 3,3-dialkylazetidines (R, R₁ and m.p. (aq. EtOH unless specified) given): Me, Ph, 176.degree.; Ph, Pr, 165-6.degree.; Ph, iso-Pr, 158-60.degree.; Bu, Ph, 129-31.degree.; Ph, CH₂Ph, 158-61.degree.; Ph, cyclohexyl, 172-4.degree.; Me, Me, 182-4.degree. (water); Et, Et, 179-80.degree.; Bu, Bu, 114-15.degree..

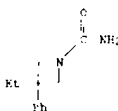
IT 91180-59-5, 1-Azetidinecarboxamide, 3-methyl-3-phenyl-
91556-81-9, 1-Azetidinecarboxamide, 3-ethyl-3-phenyl-
92372-71-2, 1-Azetidinecarboxamide, 3-butyl-3-phenyl-
93428-63-8, 1-Azetidinecarboxamide, 3-isopropyl-3-phenyl-
93428-64-9, 1-Azetidinecarboxamide, 3-phenyl-3-propyl-
93648-59-0, 1-Azetidinecarboxamide, 3-benzyl-3-phenyl-
97020-08-1, 1-Azetidinecarboxamide, 3-cyclohexyl-3-phenyl-
(prepn. f)

RN 91180-59-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-methyl-3-phenyl- (7CI) (CA INDEX NAME)

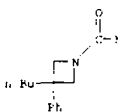


RN 91556-81-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-ethyl-3-phenyl- (7CI) (CA INDEX NAME)

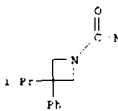
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



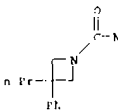
RN 92372-71-2 CAPLUS
CN 1-Azetidinecarboxamide, 3-butyl-3-phenyl- (7CI) (CA INDEX NAME)



RN 93428-63-8 CAPLUS
CN 1-Azetidinecarboxamide, 3-isopropyl-3-phenyl- (7CI) (CA INDEX NAME)

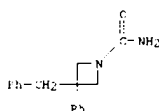


RN 93428-64-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-phenyl-3-propyl- (7CI) (CA INDEX NAME)

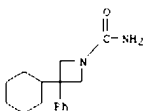


RN 93648-59-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-benzyl-3-phenyl- (7CI) (CA INDEX NAME)

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 97020-08 1 CAPLUS
CN 1-Azetidinecarboxamide, 3-cyclohexyl-3-phenyl- (7CI) (CA INDEX NAME)



L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

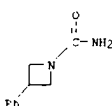
ACCESSION NUMBER: 196212337 CAPLUS
DOCUMENT NUMBER: 5612137
ORIGINAL REFERENCE NO.: 5614530-e
TITLE: 1-Carbamoyl-3-substituted azetidines
INVENTOR(S): Testa, Emilio; Pontanella, Luigi; Maffei, Giulio
PATENT ASSIGNEE(S): S.p.A., Lepetit
SOURCE: Division of Brit. 872,446
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 872447		19610712	GB	
DE 1147585			DE	
FR 1332510			FR	
US 3094518		1963	US	

AR (preceding abstract). The title compds. were prepd. by reaction of a 3-substituted azetidine (Brit. 872,446) with an equimolar amt. of an alkali metal cyanate in H₂O at 50-100.degree.. Thus, 32 g. 3-phenyl-3-ethylazetidine in 100 ml. H₂O was treated with 100 ml. 2N HCl then 13 g. NaOCN, the mixt. heated 15 min. at 50-60.degree., and cooled to yield 881 1-carbamoyl-3-phenyl-3-ethylazetidine, m. 154-6.degree. (54 EtOH). The following 1-carbamoylazetidines were prepd. (1,3-substituents, % yield, and m.p. given): Ph, Me (I), 99, 176.degree.; Ph, H, 80, 231-3.degree.; Et, Et, 77, 179-40.degree.; Ph, Et, 87, 165-6.degree.; Ph, benzyl, 81, 158-61.degree.; Bu, Bu, 90, 114-15.degree.; Ph, Bu, 75, 129-31.degree.; Ph, iso Pr (II), 86, 158-60.degree.; Ph, cyclohexyl, 62, 172-4.degree.; and Ph, Me, 64-5, 176.degree.. These compds. were active as sedatives, hypnotics, and antispasmodic agents; the last effect was particularly high with 1-carbamoyl-3-propylazetidine, I, and II, which in doses <20 mg./kg. prevented convulsive seizures induced by pentamethyltetrazole. The av. lethal dosage, L.D.₅₀, was very high, in all cases exceeding 300-400 mg./kg. on intraperitoneal administration to rats.

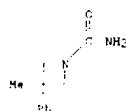
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1-Azetidinecarboxamide, 3-methyl-3-phenyl- 91556-81-9,
1-Azetidinecarboxamide, 3-ethyl-3-phenyl- 92373-71-2,
1-Azetidinecarboxamide, 3-butyl-3-phenyl- 93420-63-0,
1-Azetidinecarboxamide, 3-isopropyl-3-phenyl- 93428-64-9,
1-Azetidinecarboxamide, 3-phenyl-3-propyl- 93648-59-0,
1-Azetidinecarboxamide, 3-benzyl-3-phenyl- 97020-08-1,
1-Azetidinecarboxamide, 3-cyclohexyl-3-phenyl-
(prepd. -r)

RN 90917-68 3 CAPLUS
CN 1-Azetidinecarboxamide, 3-phenyl- (6CI, 7CI) (CA INDEX NAME)

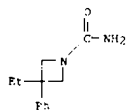


L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

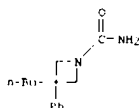
RN 91180-59-5 CAPLUS
CN 1-Azetidinecarboxamide, 3-methyl-3-phenyl- (7CI) (CA INDEX NAME)



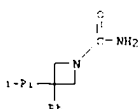
RN 91556-81-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-ethyl-3-phenyl- (7CI) (CA INDEX NAME)



RN 92373-71 2 CAPLUS
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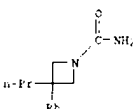


RN 93420-63-8 CAPLUS
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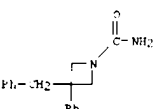


RN 93428-64-9 CAPLUS
CN 1-Azetidinecarboxamide, 3-phenyl-3-propyl- (7CI) (CA INDEX NAME)

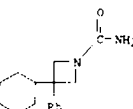
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 93648-59-0 CAPLUS
CN 1-Azetidinecarboxamide, 3-benzyl-3-phenyl- (7CI) (CA INDEX NAME)

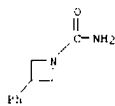


RN 97020-08 1 CAPLUS
CN 1-Azetidinecarboxamide, 3-cyclohexyl-3-phenyl- (7CI) (CA INDEX NAME)

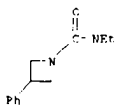


L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS ON STN
 ACCESSION NUMBER: 1961:124751 CAPLUS
 DOCUMENT NUMBER: 55:124751
 ORIGINAL REFERENCE NO.: 55:23481e-1, 73482a-c
 TITLE: Substances acting on the central nervous system.
 XVIII. 3-Phenylazetidine
 Testa, Emilio; Fontanella, Luigi; Mariani, Luigi;
 Cristiani, Gianfranco.
 Lepetit S.p.A., Milan
 Ann. (1961), 639, 157-65
 SOURCE: Journal
 DOCUMENT TYPE: Unavailable
 LANGUAGE:
 AB 61. CA 56, 75291. Hydrogenating NCHPhCO₂Et in abs. EtOH and HCl in the presence of 5% Pd/C 30 min. at room temp./1 atm. gave Et. alpha. phenyl-beta. aminopropionate-HCl (I.HCl), m. 164-5.degree.. I (90 g.) and the Grignard compd. from 38.6 g. Mg and 250 g. MeI in 800 ml. Et₂O 4 hrs. at 25.degree. gave 51.5% 3-phenyl-2-azetidine (II), m. 114-16.degree.. II with LiAlH₄ in Et₂O gave 3-phenylazetidine (III), 13.5 g./9.degree.; picrate m. 149-50.degree.; hydrochloride m. 78-80.degree.; H sulfate m. 110-12.degree.. Treating III with (A) acid chlorides in the presence of Et₃N or (B) acid anhydrides yielded N-acyl derivs. The following PhCH₂CH₂NR₂CH₂ (IV) (R = acyl) were thus prepd. (R, method, % yield, and b.p./mm. or m.p. given): Ac, B, 88, 135-7.degree./1; COEt, B, 54, 125.degree./0.6; COPh, B, 75, 131-3.degree./0.4; CO₂Et, A, 50, 105-7.degree./0.3; 3,4,5-(MeO)₃CH₂CO₂ A, 69, 109-11.degree.; CONEt₂, A, 78, 130-3.degree./0.6; SO₂Ph, A, 60, 185-7.degree. (decompn.); p-MeC₆H₄SO₂, A, 48, 133-6.degree.. IV (R = alkyl), were obtained by (A) reducing IV (R = acyl) with LiAlH₄ in Et₂O, (B) boiling III in benzene with R halides in presence of Et₃N, or (C) boiling 5 g. III and 7.7 g. Et. cinnamate with 2.2 g. LiAlH₄ in Et₂O 2.5 hrs., pouring into 20% NH₄Cl, extg. with Et₂O, shaking the Et₂O layer with 10% HCl, neutralizing the acid layer then with 10% NaOH, and extg. with Et₂O. The Et₂O ext. worked up gave 30% IV (R = cinnamyl), b.p. 155-60.degree.. Quaternary methicidides were obtained by treating IV (R = alkyl) in Et₂O with MeI. The following IV (R = alkyl) and the corresponding MeI salts were thus prepd. (R, method, % yield, b.p./mm. of IV (R = alkyl), and decomp. point of the corresponding MeI salt given): Me, A, 87, 62-4.degree./2, 137-9.degree.; Et, A(C), 77(N6), 119-15.degree./8, 114-16.degree.; CH₂Ph, B(C), 64(33), 122-7.degree./0.4, 140-2.degree.; iso-Pr, B, 38, 72-4.degree./0.6, 148-50.degree.; Bu, A, 34, 83-5.degree./0.5, 121-3.degree.; iso-Bu, B, 29, 74-5.degree./0.4, 110-12.degree.; CH₂CH₂CH₂, B, 51, 65.degree./0.3, 115-18.degree.; CH₂CO₂Et, B, 25, 123-4.degree./0.5, 111-13.degree.; 2,6-Me₂C₆H₃NHCOCH₂, B, 53, m. 113-16.degree.. III (2 g.) in 40 ml. Et₂O treated with 2 ml. MeI yielded 1-methyl-3-phenylazetidine HCl, m. 107-10.degree.. Boiling 1.75 g. III 25 min. with 0.85 g. NaOMe and 19.5 ml. N HCl gave 1.6 g. IV (R = cinnamyl), m. 231-3.degree. (decompn.). Dropwise addn. of 4.45 g. PhNCO to a benzene soln. of 5 g. III gave IV (R = phenylcinnamyl), m. 202-4.degree.. Leaving 10 g. III and 3.6 g. ethylene oxide in abs. EtOH 86 hrs. at room temp. yielded IV (R = beta. hydroxyethyl), b.p. 110-15.degree., which in CHCl₃ treated with SOCl₂ 60 min. at 40-5.degree., cooled, poured into H₂O, and the CHCl₃ soln. worked up gave IV (R = beta. thioethyl), b.p. 90-3.degree.; hydrochloride m. 136-8.degree.. Adding 5 g. NaNO₂ portionwise to a cooled (-5.degree.) soln. of 2.5 g. III in 30 ml. 80% AcOH, raising the temp. slowly to 90.degree., and keeping at this temp. 1 hr. gave 2.1g. IV(R = NO), m. 33-5.degree.. To 3.45g. LiAlH₄ in 120 ml. tetrahydrofuran was added dropwise 10 g. IV (R = NO) in 135 ml. tetrahydrofuran, the mixt. stirred 2.25 hrs. at 40-5.degree., and worked

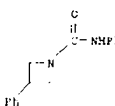
L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)
 up to give IV (R = NH₂), m.p. 75-84.degree.; hemimalate m. 112-14.degree.. Refluxing IV (R = NH₂.HCl) in H₂O with p-G₂NC₆H₄CHO in EtOH gave IV (R = p-nitrobenzylideneamino), m. 112-14.degree..
 IT 90917-68-3, 1-Azetidinecarboxamide, 3-phenyl- 100875-32-9
 , 1-Azetidinecarboxamide, N,N-diethyl-3-phenyl- 101279-71-4,
 1-Azetidinecarboxanilide, 3-phenyl- (graph. of)
 RN 90917-68-3 CAPLUS
 CN 1-Azetidinecarboxamide, 3-phenyl- (6CI, 7CI) (CA INDEX NAME)



RN 100875-32-9 CAPLUS
 CN 1-Azetidinecarboxamide, N,N-diethyl-3-phenyl- (6CI) (CA INDEX NAME)



RN 101279-71-4 CAPLUS
 CN 1-Azetidinecarboxanilide, 3-phenyl- (6CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

47.45

203.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.51

-6.51

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